

insock to STN

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\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	AUG 10	Time limit for inactive STN sessions doubles to 40 minutes
NEWS	3	AUG 18	COMPENDEX indexing changed for the Corporate Source (CS) field
NEWS	4	AUG 24	ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
NEWS	5	AUG 24	CA/CAPLUS enhanced with legal status information for U.S. patents
NEWS	6	SEP 09	50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY
NEWS	7	SEP 11	WPIDS, WPINDEX, and WPIX now include Japanese FTERM thesaurus
NEWS	8	OCT 21	Derwent World Patents Index Coverage of Indian and Taiwanese Content Expanded
NEWS	9	OCT 21	Derwent World Patents Index enhanced with human translated claims for Chinese Applications and Utility Models
NEWS	10	NOV 23	Addition of SCAN format to selected STN databases
NEWS	11	NOV 23	Annual Reload of IFI Databases
NEWS	12	DEC 01	FRFULL Content and Search Enhancements
NEWS	13	DEC 01	DGENE, USGENE, and PCTGEN: new percent identity feature for sorting BLAST answer sets
NEWS	14	DEC 02	Derwent World Patent Index: Japanese FI-TERM thesaurus added
NEWS	15	DEC 02	PCTGEN enhanced with patent family and legal status display data from INPADOCDB
NEWS	16	DEC 02	USGENE: Enhanced coverage of bibliographic and sequence information
NEWS	17	DEC 21	New Indicator Identifies Multiple Basic Patent Records Containing Equivalent Chemical Indexing in CA/CAPLUS
NEWS	18	JAN 12	Match STN Content and Features to Your Information Needs, Quickly and Conveniently
NEWS	19	JAN 25	Annual Reload of MEDLINE database
NEWS	20	FEB 16	STN Express Maintenance Release, Version 8.4.2, Is Now Available for Download
NEWS	21	FEB 16	Derwent World Patents Index (DWPI) Revises Indexing of Author Abstracts
NEWS	22	FEB 16	New FASTA Display Formats Added to USGENE and PCTGEN
NEWS	23	FEB 16	INPADOCDB and INPAFAMDB Enriched with New Content and Features
NEWS	24	FEB 16	INSPEC Adding Its Own IPC codes and Author's E-mail Addresses

NEWS EXPRESS FEBRUARY 15 10 CURRENT WINDOWS VERSION IS V8.4.2,  
AND CURRENT DISCOVER FILE IS DATED 15 JANUARY 2010.

NEWS HOURS      STN Operating Hours Plus Help Desk Availability  
NEWS LOGIN      Welcome Banner and News Items

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 07:40:55 ON 09 MAR 2010

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.44	0.44

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STRUCTURE FILE UPDATES:      8 MAR 2010      HIGHEST RN 1208220-74-9

DICTIONARY FILE UPDATES:      8 MAR 2010      HIGHEST RN 1208220-74-9

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TSCA INFORMATION NOW CURRENT THROUGH January 8, 2010.

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<http://www.cas.org/support/stngen/stndoc/properties.html>

=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

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Uploading C:\Program Files\STNEXP\Queries\10564010 str 4.str

L1          STRUCTURE UPLOADED

=> que L1

L2      QUE L1

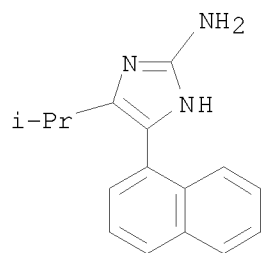
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FULL SEARCH INITIATED 07:42:19 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 647 TO ITERATE

100.0% PROCESSED 647 ITERATIONS 3 ANSWERS  
SEARCH TIME: 00.00.01

L3 3 SEA SSS FUL L1

=> d l3

L3 ANSWER 1 OF 3 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 842155-12-8 REGISTRY  
ED Entered STN: 04 Mar 2005  
CN 1H-Imidazol-2-amine, 4-(1-methylethyl)-5-(1-naphthalenyl)- (CA INDEX  
NAME)  
MF C16 H17 N3  
SR CA  
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file caplus  
COST IN U.S. DOLLARS  
FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
194.13	194.57

FILE 'CAPLUS' ENTERED AT 07:42:44 ON 09 MAR 2010  
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FILE COVERS 1907 - 9 Mar 2010 VOL 152 ISS 11  
FILE LAST UPDATED: 8 Mar 2010 (20100308/ED)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2009  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2009

CAPLUS now includes complete International Patent Classification (IPC)  
reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate  
substance identification.

=> d his

(FILE 'HOME' ENTERED AT 07:40:55 ON 09 MAR 2010)

FILE 'REGISTRY' ENTERED AT 07:41:50 ON 09 MAR 2010

L1 STRUCTURE UPLOADED  
L2 QUE L1  
L3 3 S L2 SSS FULL

FILE 'CAPLUS' ENTERED AT 07:42:44 ON 09 MAR 2010

=> s l3

L4 1 L3

=> d l4 ibib ab hitstr

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:120898 CAPLUS

DOCUMENT NUMBER: 142:219297

TITLE: Preparation of pyrimidine analogs as 5-HT2b receptor  
antagonists

INVENTOR(S): Borman, Richard Anthony; Coleman, Robert Alexander;  
Clark, Kenneth Lyle; Oxford, Alexander William; Hynd,  
George; Archer, Janet Ann; Aley, Amanda; Harris, Neil  
Victor

PATENT ASSIGNEE(S): Pharmagene Laboratories Limited, UK

SOURCE: PCT Int. Appl., 173 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005012263	A1	20050210	WO 2004-GB3184	20040723
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,			

SN, TD, TG  
 CA 2532505 A1 20050210 CA 2004-2532505 20040723  
 EP 1648876 A1 20060426 EP 2004-743517 20040723  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK  
 JP 2006528617 T 20061221 JP 2006-520897 20040723  
 US 20090018150 A1 20090115 US 2006-564010 20060111  
 PRIORITY APPLN. INFO.: GB 2003-17346 A 20030724  
 US 2003-490286P P 20030728  
 WO 2004-GB3184 W 20040723

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

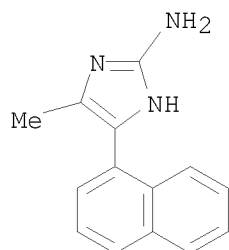
OTHER SOURCE(S): CASREACT 142:219297; MARPAT 142:219297

AB Title compds. represented by the formula I [wherein X = O or NH; R1 = (un)substituted aryl; R2, R3 = independently H, (un)substituted (cyclo)alkyl, cycloalkylalkyl, phenylalkyl; R4, R5 = independently H, (un)substituted (phenyl)alkyl, sulfonylalkyl, carbonylalkyl, alkylamino or R4R5 = (un)substituted heterocyclic group; and pharmaceutically acceptable salts or solvates thereof], and 3 addnl. Markush structures, were prepared as 5-HT2b receptor agonists. For example, reaction of 2-amino-4-chloro-6-methylpyrimidine with aniline in the microwave cavity gave II. I were tested for binding activity of 5-HT2A, 5-HT2B and 5-HT2C. Thus, I and their pharmaceutical compns. are useful for the treatment of a condition alleviated by antagonism of a 5-HT2B receptor, such as digestive tract disease (no data).

IT 842155-08-2P 842155-11-7P 842155-12-8P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of pyrimidinyl, imidazolyl, oxazolyl and triazolyl amine derivs. as 5-HT2b receptor antagonists)

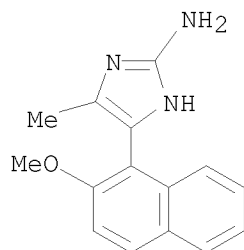
RN 842155-08-2 CAPLUS

CN 1H-Imidazol-2-amine, 4-methyl-5-(1-naphthalenyl)- (CA INDEX NAME)

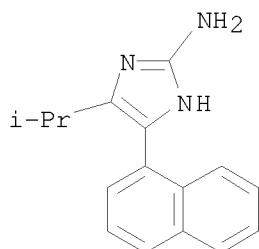


RN 842155-11-7 CAPLUS

CN 1H-Imidazol-2-amine, 5-(2-methoxy-1-naphthalenyl)-4-methyl- (CA INDEX NAME)



RN 842155-12-8 CAPLUS  
CN 1H-Imidazol-2-amine, 4-(1-methylethyl)-5-(1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)  
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	7.31	201.88
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.85	-0.85

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STRUCTURE FILE UPDATES: 8 MAR 2010 HIGHEST RN 1208220-74-9  
DICTIONARY FILE UPDATES: 8 MAR 2010 HIGHEST RN 1208220-74-9

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<http://www.cas.org/support/stngen/stndoc/properties.html>

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Uploading C:\Program Files\STNEXP\Queries\10564010 str6.str

L5      STRUCTURE UPLOADED

=> que L5

L6      QUE L5

=> s l6 sss full
FULL SEARCH INITIATED 07:46:50 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      744 TO ITERATE

100.0% PROCESSED      744 ITERATIONS      15 ANSWERS
SEARCH TIME: 00.00.01
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L7      15 SEA SSS FUL L5
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                        ENTRY      SESSION
FULL ESTIMATED COST      193.01      394.89

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                ENTRY      SESSION
CA SUBSCRIBER PRICE      0.00      -0.85
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FILE COVERS 1907 - 9 Mar 2010 VOL 152 ISS 11
FILE LAST UPDATED: 8 Mar 2010 (20100308/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2009
```

CAPLUS now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s l7
L8      17 L7
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=> d 18 1-17 ibib ab hitstr

L8 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:1503529 CAPLUS

DOCUMENT NUMBER: 152:12356

TITLE: Preparation of azolylamino  
benzopyridobicyclooctanecarboxamides and  
dipyridobicyclooctanecarboxamides as modulators of  
activator protein 1 (AP-1) and/or NF- $\kappa$ B  
activity.

INVENTOR(S): Duan, Jingwu; Sheppeck, James; Jiang, Bin; Gilmore,  
John L.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: U.S., 38pp.  
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 7625921	B2	20091201	US 2005-34822	20050113
US 20050182082	A1	20050818		
WO 2005072732	A1	20050811	WO 2005-US1181	20050114
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1708701	A1	20061011	EP 2005-711446	20050114
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU			
PRIORITY APPLN. INFO.:			US 2004-537437P	P 20040116
			US 2005-34822	A 20050113
			WO 2005-US1181	W 20050114

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Title compds. [I; R = H, OH, alkyl, alkenyl, alkynyl, aryl, aralkyl,  
heteroaryl, heteroarylalkyl, etc.; R1, R2 = H, halo, OH, alkyl, alkenyl,  
alkynyl, aryl, aryloxy, heteroaryl, cyano, hydroxyaryl, hydroxyalkyl,  
etc.; R3, R4 = H, alkyl, alkenyl, alkynyl, alkoxy, amino, aryl, OH,  
aryloxy, heteroaryl, etc.; Z = (substituted) aminomethyl, aminocarbonyl,  
aminosulfonyl, aminosulfinyl; dotted lines = optional double bonds; X1-X8  
= CR15, CR16R17, N, NR18; R15-R17 = H, halo, OH, alkyl, alkenyl, alkynyl,  
alkoxy, aryl, aryloxy, heteroaryl, cyano, CO2H, CH2OH, etc.; R16R17 = O;  
R18 = H, aryl, alkyl, alkenyl, alkynyl, alkoxy, amino, heteroaryl,  
cycloalkyl, etc.; with provisos], were prepared Thus, title compound (II) was  
prepared in 7% yield via coupling of the corresponding acid and amine using  
EDC/HOBt/DIEPA in MeCN at 70° for 17 h. I showed glucocorticoid  
receptor/dexamethasone inhibition activity (>95% at 10  $\mu$ M) and/or AP-1  
inhibitory activity (EC50 <15  $\mu$ M).

IT 76507-18-1P

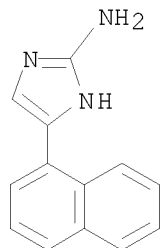
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)



(preparation of azolylamino benzopyridobicyclooctanecarboxamides and  
dipyridobicyclooctanecarboxamides as modulators of AP-1 and/or  
NF- $\kappa$ B activity)

RN 76507-18-1 CAPLUS

CN 1H-Imidazol-2-amine, 5-(1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)

REFERENCE COUNT: 84 THERE ARE 84 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:1290195 CAPLUS

DOCUMENT NUMBER: 151:448426

TITLE: Preparation of heterocyclic bicyclooctylcarboxamide  
derivatives as modulators of glucocorticoid receptor,  
AP-1, and/or NF- $\kappa$ B

INVENTOR(S): Weinstein, David S.; Sheppeck, James; Gilmore, John L.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: U.S., 50pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 7605264	B2	20091020	US 2005-35290	20050113
US 20050182083	A1	20050818		
WO 2005073221	A1	20050811	WO 2005-US1293	20050114
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1711488	A1	20061018	EP 2005-711486	20050114
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU			

PRIORITY APPLN. INFO.: US 2004-537048P P 20040116  
US 2005-35290 A 20050113  
WO 2005-US1293 W 20050114

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Novel non-steroidal compds. of formula I are provided which are useful in treating diseases associated with modulation of the glucocorticoid receptor, AP-1, and/or NF- $\kappa$ B activity including obesity, diabetes, inflammatory and immune diseases. Also provided are pharmaceutical compns. and methods of treating obesity, diabetes and inflammatory or immune associated diseases comprising said compds. Compds. of formula I wherein Y and W are independently C or N; X is CR<sub>3</sub>R<sub>4</sub>; R = H, alkyl, aryl, etc.; R<sub>1</sub> is H, halo, alkenyl, etc.; R<sub>2</sub> is H, alkoxy, aryloxy, etc.; R<sub>3</sub> and R<sub>4</sub> are independently H, alkenyl, alkoxy, etc.; R<sub>3</sub>R<sub>4</sub> may be taken together with the carbon that they are attached to form a 3- to 7-membered ring; Z is CONH<sub>2</sub> and derivs., CH<sub>2</sub>NH<sub>2</sub> and derivs., SONH<sub>2</sub> and derivs., etc.; one of rings A and B is (un)substituted heterocycle and the other = (un)substituted carbocycle or heterocycle; and their pharmaceutically acceptable salts and stereoisomers, are claimed. Example compound II was prepared by amidation of III with 4-(4-fluoronaphthalen-1-yl)-thiazol-2-ylamine. The invention compds. were evaluated for their GR, AP-1 and NF- $\kappa$ B inhibitory activity (some data given).

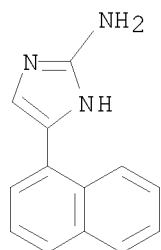
IT 76507-18-1P

RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heterocyclic bicyclooctylcarboxamide derivs. as modulators of glucocorticoid receptor, AP-1, and/or NF- $\kappa$ B)

RN 76507-18-1 CAPLUS

CN 1H-Imidazol-2-amine, 5-(1-naphthalenyl)- (CA INDEX NAME)



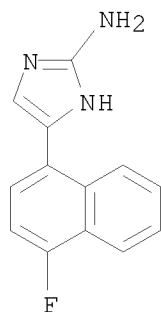
IT 650626-12-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heterocyclic bicyclooctylcarboxamide derivs. as modulators of glucocorticoid receptor, AP-1, and/or NF- $\kappa$ B)

RN 650626-12-3 CAPLUS

CN 1H-Imidazol-2-amine, 5-(4-fluoro-1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT:

2

THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD

(2 CITINGS)  
REFERENCE COUNT: 87 THERE ARE 87 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2009:938111 CAPLUS  
DOCUMENT NUMBER: 151:190042  
TITLE: Fused aryl and heteroaryl bicyclo[2.2.2]octane  
derivative modulators of the glucocorticoid receptor,  
AP-1, and/or NF- $\kappa$ B activity, and therapeutic use  
thereof  
INVENTOR(S): Duan, Jingwu; Jiang, Bin; Sheppeck, James; Gilmore,  
John L.  
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA  
SOURCE: U.S., 28pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

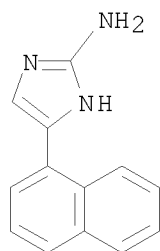
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 7569689	B2	20090804	US 2005-34652	20050113
US 20050176716	A1	20050811		
WO 2005070207	A1	20050804	WO 2005-US1411	20050114
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1705990	A1	20061004	EP 2005-711524	20050114
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU			
PRIORITY APPLN. INFO.:			US 2004-537467P	P 20040116
			US 2005-34652	A 20050113
			WO 2005-US1411	W 20050114

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB A class of non-steroidal compds. are provided which are useful in treating diseases associated with modulation of the glucocorticoid receptor, AP-1, and/or NF- $\kappa$ B activity including obesity, diabetes, inflammatory and immune diseases. The compds. of the invention are fused aryl and heteroaryl bicyclo[2.2.2]octane derivs. I [R = H, OH, alkyl, etc.; Ra, Rb = H, halo, OH, alkyl, etc.; Rc, Rd = H, alkyl, alkenyl, etc.; Z = S(O)tNR1R2, CONR1R2, CH2NR1R2; t = 1,2; R1, R2 = H, alkyl, etc.; X1-X8 = CR15, NR18, etc.; R15 = H, halo, OH, etc.; R18 = H, aryl, alkyl, etc.]. Also provided are pharmaceutical compns. and methods comprising the above compds. for treating obesity, diabetes and inflammatory or immune-associated diseases. Compound preparation is included.

IT 76507-18-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(fused aryl and heteroaryl bicyclo[2.2.2]octane derivative modulators of glucocorticoid receptor, AP-1, and/or NF- $\kappa$ B activity, and

therapeutic use)  
RN 76507-18-1 CAPLUS  
CN 1H-Imidazol-2-amine, 5-(1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)  
REFERENCE COUNT: 86 THERE ARE 86 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:336377 CAPLUS

DOCUMENT NUMBER: 150:306630

TITLE: Preparation of xanthenes, thioxanthenes and  
benzopyranopyridines, and related analogs as  
modulators of glucocorticoid receptor, ap-1, and/or  
nf-kb activity and use thereof

INVENTOR(S): Weinstein, David S.; Chen, Ping; Dhar, T. G. Murali;  
Duan, Jingwu; Gong, Hua; Jiang, Bin; Yang, Bingwei  
Vera; Doweiko, Arthur M.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: U.S. Pat. Appl. Publ., 211pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090075995	A1	20090319	US 2007-835438	20070808
AU 2007286221	A1	20080221	AU 2007-286221	20070809
CA 2660318	A1	20080221	CA 2007-2660318	20070809
WO 2008021926	A2	20080221	WO 2007-US75543	20070809
WO 2008021926	A3	20080522		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
EP 2049507	A2	20090422	EP 2007-800057	20070809
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, HR			

JP 2010500376	T	20100107	JP 2009-523988	20070809
MX 2009001220	A	20090211	MX 2009-1220	20090130
NO 2009000564	A	20090319	NO 2009-564	20090205
KR 2009038930	A	20090421	KR 2009-704788	20090306
CN 101528718	A	20090909	CN 2007-80037118	20090403
PRIORITY APPLN. INFO.:			US 2006-836496P	P 20060809
			US 2007-835438	A 20070808
			WO 2007-US75543	W 20070809

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

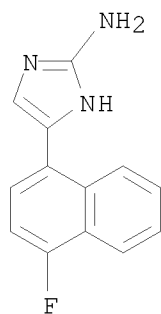
AB Novel non-steroidal compds. I [A = 5-8 membered carbocyclic or heterocyclic ring; B = cycloalkyl, cycloalkenyl, aryl, heterocyclo ring, and heteroaryl ring, wherein the B ring is fused to the A ring, and the B ring is optionally substituted with R5-8; X, Y, and Z independently = -AlQA2-; Q independently = bond, O, S, S(O), and S(O)2; A1 and A2 independently = bond, (un)substituted alkylene, alkenylene with provisions; R1-8 independently = H, halo, (un)substituted alkyl, etc.; R9 and R10 independently = H, halo, (un)substituted alkyl, alkenyl, alkynyl, etc.; R11 = H, alkoxy, aryl, (un)substituted alkyl, etc.; R12 = heterocyclo, heteroaryl and CN], and their pharmaceutically acceptable salts are prepared and disclosed as useful in treating diseases associated with modulation of the glucocorticoid receptor, AP-1, and/or NF-KB activity, including inflammatory and immune diseases. Thus, e.g., II was prepared by amidation of xanthen-9-ylacetic acid (preparation given) with 2-amino-5-(4-pyridin-4-ylbenzyl)thiazole (preparation given). Assays for determining

ap-1 activity are described, e.g., II demonstrated an IC50 value of 156.9 nM. Also provided are pharmaceutical compns. and methods of treating inflammatory- or immune-associated diseases and obesity and diabetes employing said compds.

IT 650626-12-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of xanthenes and thioxanthenes and related analogs as modulators of glucocorticoid receptor, ap-1, and/or nf-kb activity and use thereof)

RN 650626-12-3 CAPLUS

CN 1H-Imidazol-2-amine, 5-(4-fluoro-1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L8 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:590502 CAPLUS

DOCUMENT NUMBER: 148:561920

TITLE: N-Heteroaryl carboxamides as modulators of glucocorticoid receptor, AP-1, and/or NF-κB activity and their preparation, pharmaceutical

INVENTOR(S): compositions and use in the treatment of diseases  
 Yang, Bingwei Vera; Dowejko, Lidia M.; Vaccaro, Wayne;  
 Huynh, Tram N.; Tortolani, David R.; Dhar, T. g.  
 Murali

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 177pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

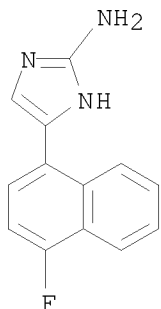
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008057862	A2	20080515	WO 2007-US83094	20071031
WO 2008057862	A3	20081016		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
EP 2089355	A2	20090819	EP 2007-863679	20071031
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR				
PRIORITY APPLN. INFO.:			US 2006-855950P	P 20061101
			WO 2007-US83094	W 20071031

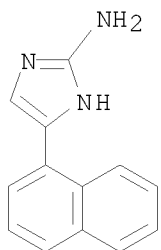
OTHER SOURCE(S): CASREACT 148:561920; MARPAT 148:561920

AB Non-steroidal compds. are provided which are useful in treating diseases associated with modulation of the glucocorticoid receptor, AP-1, and/or NF- $\kappa$ B activity including inflammatory and immune diseases, obesity and diabetes having the structure of formula I an enantiomer, diastereomer, tautomer, solvate (e.g. a hydrate), or a pharmaceutically-acceptable salt, thereof. Also provided are pharmaceutical compns. and methods of treating metabolic and inflammatory- or immune-associated diseases or disorders using said compds. Compds. of formula I wherein M is (un)substituted alkyl, cycloalkyl, (hetero)aryl and heterocyclyl; Ma and Za are independently a bond and C1-3 alkylene; Q is H, (un)substituted C1-4 alkyl; Q and R6 taken together to form a 3- to 6-membered cycloalkyl; Q and M taken together to form a 3- to 7-membered heterocyclic ring; Z is cycloalkyl, heterocyclyl and (hetero)aryl; R1 - R4 are independently H, halo, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, NO<sub>2</sub>, CN, OH and derivs., etc.; R6 is (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, CHO, acyl, CO<sub>2</sub>H and derivs., etc.; R7 is halo, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, NO<sub>2</sub>, CN, OH and derivs., etc.; R22 is H, (un)substituted alkyl, CO-alkyl, CO<sub>2</sub>-alkyl, SO<sub>2</sub>-alkyl, alkoxy, (un)substituted amino, (hetero)aryl, heterocyclyl, and cycloalkyl; and their enantiomers, diastereoisomers, and pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by amidation of 2,2-diphenyl-1-methylcyclopropane-1-carboxylic acid with 2-aminothiazole. All the invention compds. were evaluated for their GR and AP-1 modulatory activity. From the assay, it was determined that compound II exhibited Ki value of 103.8 % RBA.

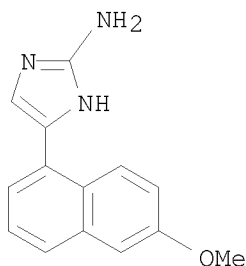
IT 650626-12-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (intermediate; preparation of non-steroidal N-heteroaryl carboxamides as  
 modulators of glucocorticoid receptor, AP-1 and NF- $\kappa$ B useful in  
 treatment of diseases)  
 RN 650626-12-3 CAPLUS  
 CN 1H-Imidazol-2-amine, 5-(4-fluoro-1-naphthalenyl)- (CA INDEX NAME)



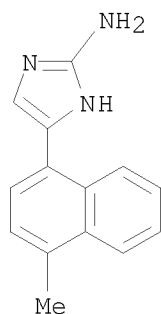
IT 76507-18-1P 650626-16-7P 1028834-12-9P  
 RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP  
 (Preparation); RACT (Reactant or reagent)  
 (prophetic intermediate; preparation of non-steroidal N-heteroaryl  
 carboxamides as modulators of glucocorticoid receptor, AP-1 and  
 NF- $\kappa$ B useful in treatment of diseases)  
 RN 76507-18-1 CAPLUS  
 CN 1H-Imidazol-2-amine, 5-(1-naphthalenyl)- (CA INDEX NAME)



RN 650626-16-7 CAPLUS  
 CN 1H-Imidazol-2-amine, 5-(6-methoxy-1-naphthalenyl)- (CA INDEX NAME)



RN 1028834-12-9 CAPLUS  
 CN 1H-Imidazol-2-amine, 5-(4-methyl-1-naphthalenyl)- (CA INDEX NAME)



L8 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:224089 CAPLUS

DOCUMENT NUMBER: 148:285174

TITLE: Preparation of xanthenes, thioxanthenes and benzopyranopyridines, and related analogs as modulators of glucocorticoid receptor, ap-1, and/or nf-kb activity and use thereof

INVENTOR(S): Weinstein, David S.; Gong, Hua; Duan, Jingwu; Dhar, T.g. Murali; Yang, Bingwei Vera; Chen, Ping; Jiang, Bin

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 349 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008021926	A2	20080221	WO 2007-US75543	20070809
WO 2008021926	A3	20080522		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 20090075995	A1	20090319	US 2007-835438	20070808
AU 2007286221	A1	20080221	AU 2007-286221	20070809
CA 2660318	A1	20080221	CA 2007-2660318	20070809
EP 2049507	A2	20090422	EP 2007-800057	20070809
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, HR				
JP 2010500376	T	20100107	JP 2009-523988	20070809
IN 2009DN00677	A	20090515	IN 2009-DN677	20090129
MX 2009001220	A	20090211	MX 2009-1220	20090130
NO 2009000564	A	20090319	NO 2009-564	20090205
KR 2009038930	A	20090421	KR 2009-704788	20090306



CN 101528718	A	20090909	CN 2007-80037118	20090403
PRIORITY APPLN. INFO.:			US 2006-836496P	P 20060809
			US 2007-835438	A 20070808
			WO 2007-US75543	W 20070809

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

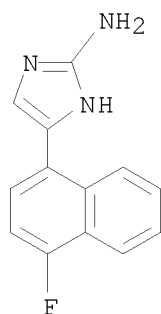
OTHER SOURCE(S): MARPAT 148:285174

AB Novel non-steroidal compds. I [A = 5-8 membered carbocyclic or heterocyclic ring; B = cycloalkyl, cycloalkenyl, aryl, heterocyclo ring, and heteroaryl ring, wherein the B ring is fused to the A ring, and the B ring is optionally substituted with R5-8; X, Y, and Z independently = -AlQA2-; Q independently = bond, O, S, S(O), and S(O)2; A1 and A2 independently = bond, (un)substituted alkylene, alkenylene with provisions; R1-8 independently = H, halo, (un)substituted alkyl, etc.; R9 and R10 independently = H, halo, (un)substituted alkyl, alkenyl, alkynyl, etc.; R11 = H, alkoxy, aryl, (un)substituted alkyl, etc.; R12 = heterocyclo, heteroaryl and CN], and their pharmaceutically acceptable salts are prepared and disclosed as useful in treating diseases associated with modulation of the glucocorticoid receptor, AP-1, and/or NF-KB activity, including inflammatory and immune diseases. Thus, e.g., II was prepared by amidation of xanthen-9-ylacetic acid (preparation given) with 2-amino-5-(4-pyridin-4-ylbenzyl)thiazole (preparation given). Assays for determining ap-1 activity are described, e.g., II demonstrated an IC50 value of 156.9 nM. Also provided are pharmaceutical compns. and methods of treating inflammatory- or immune-associated diseases and obesity and diabetes employing said compds.

IT 650626-12-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of xanthenes and thioxanthenes and related analogs as modulators of glucocorticoid receptor, ap-1, and/or nf-kb activity and use thereof)

RN 650626-12-3 CAPLUS

CN 1H-Imidazol-2-amine, 5-(4-fluoro-1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L8 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:227067 CAPLUS

DOCUMENT NUMBER: 146:295921

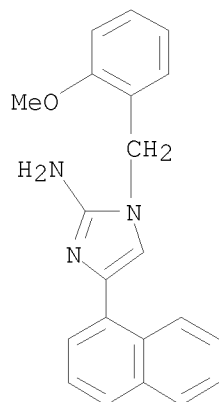
TITLE: Preparation of imidazol-2-ylamines and related compounds as 5-ht5 receptor inhibitors

INVENTOR(S): Amberg, Wilhelm; Netz, Astrid; Kling, Andreas; Ochse, Michael; Lange, Udo; Haupt, Andreas; Garcia-Ladona, Francisco Javier; Wernet, Wolfgang

PATENT ASSIGNEE(S): Abbott G.m.b.H. & Co. K.-G., Germany

SOURCE: PCT Int. Appl., 173pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007022947	A2	20070301	WO 2006-EP8223	20060821
WO 2007022947	A3	20070503		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA EP 1917251 A2 20080507 EP 2006-791604 20060821 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR PRIORITY APPLN. INFO.: DE 2005-102005040600A 20050821 US 2005-711014P P 20050824 DE 2006-102006005917A 20060209 WO 2006-EP8223 W 20060821 OTHER SOURCE(S): CASREACT 146:295921; MARPAT 146:295921 AB Title compds. I [W = substituted Ph, thiophenyl, etc; R1, R2 = H, OH, CN, etc.; R3 = electron pair, H; X, Y, Z = N, C, CR4 with provisos; R4 = H, NO2, NH2, etc.; Q = (CRq1Rq2)a-(Vq)b-(CRq3Rq4)c; a = 0-4; b 0-1; c = 0-4; Rq1, Rq2, Rq3, Rq4 = H, halo, OH, etc.; Vq = CO, O, S, etc.] and their pharmaceutically acceptable salts were prepared For example, imidazol-2-ylamine II was prepared from 2-bromo-1-(4-bromophenyl)ethanone in 2-steps. In 5-HT5a receptor binding assays, 54-examples of compds. I exhibited Ki values ≤ 600nM. IT 927905-56-4P, 1-(2-Methoxybenzyl)-4-naphthalen-1-yl-1H-imidazol- 2-ylamine RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of imidazol-2-ylamines and related compds. as 5-ht5 receptor inhibitors) RN 927905-56-4 CAPLUS CN 1H-Imidazol-2-amine, 1-[(2-methoxyphenyl)methyl]-4-(1-naphthalenyl)- (CA INDEX NAME)				



L8 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:678383 CAPLUS

DOCUMENT NUMBER: 145:124343

TITLE: Preparation of  
dibenzobicyclo[2.2.2]octadienylcarboxamides as  
modulators of the glucocorticoid receptor, ap-1,  
and/or NF-kb activity and use thereof

INVENTOR(S): Yang, Bingwei V.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA

SOURCE: U.S. Pat. Appl. Publ., 33 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060154962	A1	20060713	US 2006-330511	20060112
US 7317024	B2	20080108		
WO 2006076509	A1	20060720	WO 2006-US1117	20060113
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1841750	A1	20071010	EP 2006-718214	20060113
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008526977	T	20080724	JP 2007-551378	20060113
PRIORITY APPLN. INFO.:			US 2005-643760P	P 20050113
			WO 2006-US1117	W 20060113

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 145:124343; MARPAT 145:124343

AB Title compds. I [R1 = H, OH, alkyl, etc.; R3 and R6 independently = H,  
halo, OH, alkyl, alkenyl, etc.; R7 and R8 independently = H, alkynyl,  
aryl, etc.; R4 and R5 independently = OH, alkoxy, aryloxy, etc.; Z =

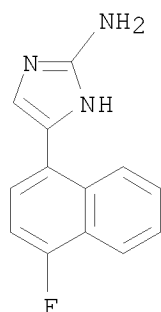
S(O)tNR1R2, CONR1R2 or CH2NR1R2 wherein R1 and R2 independently = H, alkyl, alkenyl, alkynyl, heteroaryl, etc.; m and n independently = 0-4 provided m+n ≥ 1; t = 1-2], and their pharmaceutically acceptable salts, are prepared and disclosed as novel non-steroidal compds. which are useful in treating diseases associated with modulation of the glucocorticoid receptor, AP-1, and/or NF-κB activity including obesity, diabetes, inflammatory and immune diseases. Thus, e.g., II was prepared by coupling of the corresponding acid (preparation given) with 4-(4-methylnaphthalen-1-yl)thiazol-2-ylamine. Methods for assaying glucocorticoid receptor inhibition (>25% at 10 μM, preferably >95% at 10 μM) and/or AP-1 inhibition activity (EC50 < 15 μM) are described. Also provided are pharmaceutical compns. and methods of treating obesity, diabetes and inflammatory or immune associated diseases comprising said compds.

IT 650626-12-3

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of dibenzobicyclo[2.2.2]octadienylcarboxamide derivs. as modulators of glucocorticoid receptor, AP-1 and/or NF-κB activity)

RN 650626-12-3 CAPLUS

CN 1H-Imidazol-2-amine, 5-(4-fluoro-1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 82 THERE ARE 82 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:732644 CAPLUS

DOCUMENT NUMBER: 143:211899

TITLE: Preparation of heterocyclic bicyclooctylcarboxamide derivatives as modulators of glucocorticoid receptor, AP-1, and/or NF-κB

INVENTOR(S): Weinstein, David S.; Sheppeck, James; Gilmore, John L.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 115 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

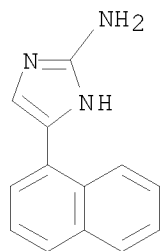
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005073221	A1	20050811	WO 2005-US1293	20050114
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,  
 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,  
 MR, NE, SN, TD, TG  
 US 7605264 B2 20091020 US 2005-35290 20050113  
 US 20050182083 A1 20050818  
 EP 1711488 A1 20061018 EP 2005-711486 20050114  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, TR, BG, CZ, EE, HU, PL, SK, HR,  
 IS, YU  
 PRIORITY APPLN. INFO.: US 2004-537048P P 20040116  
 US 2005-35290 A 20050113  
 WO 2005-US1293 W 20050114

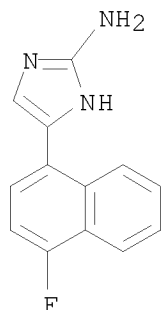
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:211899; MARPAT 143:211899

AB Title compds. I [Y and W independently = C or N; X = CR<sub>3</sub>R<sub>4</sub>; R = H, alkyl,  
 aryl, etc.; R<sub>1</sub> = H, halo, alkenyl, etc.; R<sub>2</sub> = H, alkoxy, aryloxy, etc.; R<sub>3</sub>  
 and R<sub>4</sub> independently = H, alkenyl, alkoxy, etc. or R<sub>3</sub> and R<sub>4</sub> may  
 optionally be taken together with the carbon that they are attached to  
 form a 3-7 membered ring which may optionally include an O or N atom; Z =  
 CONR<sub>5</sub>R<sub>6</sub>, CH<sub>2</sub>NR<sub>5</sub>R<sub>6</sub>, SONR<sub>5</sub>R<sub>6</sub>, etc.; R<sub>5</sub> and R<sub>6</sub> independently = H, amino,  
 heteroaryl, etc.; one of A and B = (un)substituted heterocycle and the  
 other = (un)substituted carbocycle or heterocycle with provisions] and  
 their pharmaceutically acceptable salts, are prepared and disclosed as  
 modulators of glucocorticoid receptor, AP-1, and/or NF- $\kappa$ B. Thus,  
 e.g., II was prepared by amidation of III (preparation given) with  
 4-(4-fluoronaphthalen-1-yl)-thiazol-2-ylamine. The activity of I to  
 inhibit AP-1 was evaluated using cellular transrepressional assays and it  
 was revealed that compds. of the invention possessed an EC<sub>50</sub> value of less  
 than 15  $\mu$ M. I as modulator of glucocorticoid receptor, AP-1, and/or  
 NF- $\kappa$ B should prove useful in the treatment of obesity, diabetes and  
 inflammatory or immune associated diseases. Pharmaceutical compns.  
 comprising I are disclosed.  
 IT 76507-18-1P 650626-12-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of heterocyclic bicyclooctylcarboxamide derivs. as modulators  
 of glucocorticoid receptor, AP-1, and/or NF- $\kappa$ B)  
 RN 76507-18-1 CAPLUS  
 CN 1H-Imidazol-2-amine, 5-(1-naphthalenyl)- (CA INDEX NAME)



RN 650626-12-3 CAPLUS  
 CN 1H-Imidazol-2-amine, 5-(4-fluoro-1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)  
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:732507 CAPLUS

DOCUMENT NUMBER: 143:211915

TITLE: Preparation of azolylamino  
benzobicyclooctanecarboxamides as modulators of  
activator protein-1 (AP-1) and/or NF- $\kappa$ B  
activity.

INVENTOR(S): Weinstein, David S.; Yang, Bingwei Vera; Kim,  
Soong-Hoon; Vaccaro, Wayne; Sheppeck, James; Gilmore,  
John

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 149 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005072132	A2	20050811	WO 2005-US1180	20050114
WO 2005072132	A3	20060302		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 20050187242	A1	20050825	US 2005-35176	20050113
US 7253283	B2	20070807		
EP 1703797	A2	20060927	EP 2005-705688	20050114
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU			
US 20070270453	A1	20071122	US 2007-773506	20070705
US 7544808	B2	20090609		
PRIORITY APPLN. INFO.:			US 2004-537469P	P 20040116

US 2005-35176 A 20050113  
WO 2005-US1180 W 20050114

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:211915; MARPAT 143:211915

AB Title compds. [I; dotted line = optional double bond; m, n = 1, 2; J, K = C, N, O, S; R = H, alkyl, alkenyl, alkynyl, alkoxy, cyano, aryl, aryloxy, heteroaryl, amino, etc.; R1 = H, halo, alkyl, alkenyl, alkynyl, cyano, cyanoalkyl, hydroxyaryl, NO2, amino, aryl, heteroaryl, etc.; R2 = H, alkyl, alkenyl, alkynyl, alkoxy, aryl, aryloxy, cyano, halo, NO2, cyanoalkyl, etc.; R3, R4 = H, alkyl, alkenyl, alkynyl, aryl, OH, heteroaryl, hydroxyaryl, aryloxyalkyl, etc.; R3R4 = atoms to form a 3-7 membered ring; R5, R6 = H, halo, OH, alkyl, alkenyl, alkynyl, alkoxy, aryl, aralkyl, aryloxy, heteroaryl, cyano, cyanoalkyl, NO2, amino, etc.; B = (substituted) carbocyclyl, heterocyclyl], were prepared Thus, title compound (II) was prepared in 21% yield via coupling of the corresponding bicyclooctanecarboxylic acid and thiazolylamine in the presence of HOAt/EDC/Et3N in MeCN at 85° for 5 h. I have glucocorticoid receptor/dexamethasone inhibition activity (>95% at 10  $\mu$ M) and/or AP-1 inhibition activity (EC50 <15  $\mu$ M).

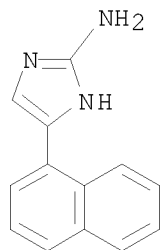
IT 76507-18-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of azolylamino benzobicyclooctanecarboxamides as modulators of AP-1 and/or NF- $\kappa$ B activity)

RN 76507-18-1 CAPLUS

CN 1H-Imidazol-2-amine, 5-(1-naphthalenyl)- (CA INDEX NAME)



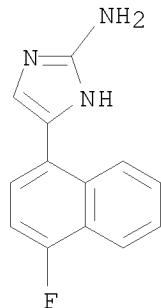
IT 650626-12-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of azolylamino benzobicyclooctanecarboxamides as modulators of AP-1 and/or NF- $\kappa$ B activity)

RN 650626-12-3 CAPLUS

CN 1H-Imidazol-2-amine, 5-(4-fluoro-1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD

(5 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:729531 CAPLUS

DOCUMENT NUMBER: 143:211914

TITLE: Preparation of azolylamino benzopyridobicyclooctanecarboxamides and dipyridobicyclooctanecarboxamides as modulators of activator protein 1 (AP-1) and/or NF- $\kappa$ B activity.

INVENTOR(S): Duan, Jingwu; Sheppeck, James; Jiang, Bin; Gilmore, John L.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 92 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005072732	A1	20050811	WO 2005-US1181	20050114
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 7625921	B2	20091201	US 2005-34822	20050113
US 20050182082	A1	20050818		
EP 1708701	A1	20061011	EP 2005-711446	20050114
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU			
PRIORITY APPLN. INFO.:			US 2004-537437P	P 20040116
			US 2005-34822	A 20050113
			WO 2005-US1181	W 20050114

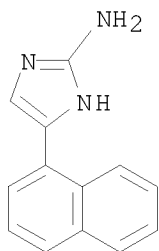
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:211914; MARPAT 143:211914

AB Title compds. [I; R = H, OH, alkyl, alkenyl, alkynyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, etc.; R1, R2 = H, halo, OH, alkyl, alkenyl, alkynyl, aryl, aryloxy, heteroaryl, cyano, hydroxyaryl, hydroxyalkyl, etc.; R3, R4 = H, alkyl, alkenyl, alkynyl, alkoxy, amino, aryl, OH, aryloxy, heteroaryl, etc.; Z = (substituted) aminomethyl, aminocarbonyl, aminosulfonyl, aminosulfinyl; dotted lines = optional double bonds; X1-X8 = CR15, CR16R17, N, NR18; R15-R17 = H, halo, OH, alkyl, alkenyl, alkynyl, alkoxy, aryl, aryloxy, heteroaryl, cyano, CO2H, CH2OH, etc.; R16R17 = O; R18 = H, aryl, alkyl, alkenyl, alkynyl, alkoxy, amino, heteroaryl, cycloalkyl, etc.; with provisos], were prepared Thus, title compound (II) was prepared in 7% yield via coupling of the corresponding acid and amine using EDC/HOBt/DIEPA in MeCN at 70° for 17 h. I showed glucocorticoid receptor/dexamethasone inhibition activity (>95% at 10  $\mu$ M) and/or AP-1 inhibitory activity (EC50 <15  $\mu$ M).



IT 76507-18-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of azolylamino benzopyridobicyclooctanecarboxamides and  
 dipyridobicyclooctanecarboxamides as modulators of AP-1 and/or  
 NF- $\kappa$ B activity)  
 RN 76507-18-1 CAPLUS  
 CN 1H-Imidazol-2-amine, 5-(1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD  
 (5 CITINGS)  
 REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2005:729529 CAPLUS  
 DOCUMENT NUMBER: 143:211913  
 TITLE: Preparation of bis(aryl)tricyclic modulators of  
 glucocorticoid receptor, AP-1, and/or NF $\kappa$ B  
 activity.  
 INVENTOR(S): Yang, Bingwei Vera  
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA  
 SOURCE: PCT Int. Appl., 87 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005072729	A1	20050811	WO 2005-US1229	20050114
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 20050182110	A1	20050818	US 2005-35119	20050113
US 7326728	B2	20080205		
EP 1708699	A1	20061011	EP 2005-711468	20050114
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU			

PRIORITY APPLN. INFO.:

US 2004-537470P

P 20040116

WO 2005-US1229

W 20050114

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:211913; MARPAT 143:211913

AB Title compds. I [R = H, alk(en/yn)yl, cycloalkyl, etc.; R' = H, alk(en/yn)yl, cycloalkyl, etc.; R1-2 = H, halo, OH, etc.; R3-4 = H, alkyl, alk(en/yn)yl, alkoxy, etc.; Z = SO1-2-amino, carboxamido, etc.; A, B = (un)saturated 6-membered carbocyclic, heterocyclic ring] are prepared For instance II is prepared in several steps from 9-nitroanthracene, Me 2-acetamidoacrylate and 2-amino-4-(naphthalen-1-yl)imidazole. I are glucocorticoid receptor modulators and are useful for the treatment of diseases associated with AP-1 or NF- $\kappa$ B-induced transcription [no data].

IT 76507-18-1

RL: RCT (Reactant); RACT (Reactant or reagent)

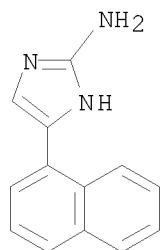
(preparation of bis(aryl)tricyclic imidazole/thiazole derivative modulators

of

glucocorticoid receptor, AP-1, and/or NF $\kappa$ B activity)

RN 76507-18-1 CAPLUS

CN 1H-Imidazol-2-amine, 5-(1-naphthalenyl)- (CA INDEX NAME)



IT 650626-12-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

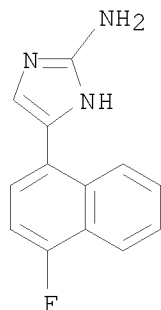
(preparation of bis(aryl)tricyclic imidazole/thiazole derivative modulators

of

glucocorticoid receptor, AP-1, and/or NF $\kappa$ B activity)

RN 650626-12-3 CAPLUS

CN 1H-Imidazol-2-amine, 5-(4-fluoro-1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2005:696690 CAPLUS  
 DOCUMENT NUMBER: 143:186790  
 TITLE: Fused aryl and heteroaryl bicyclo[2.2.2]octane derivative modulators of the glucocorticoid receptor, AP-1, and/or NF- $\kappa$ B activity, and therapeutic use thereof  
 INVENTOR(S): Duan, Jingwu; Jiang, Bin; Sheppeck, James; Gilmore, John L.  
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA  
 SOURCE: PCT Int. Appl., 74 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005070207	A1	20050804	WO 2005-US1411	20050114
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 7569689	B2	20090804	US 2005-34652	20050113
US 20050176716	A1	20050811		
EP 1705990	A1	20061004	EP 2005-711524	20050114
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU			
PRIORITY APPLN. INFO.:			US 2004-537467P	P 20040116
			US 2005-34652	A 20050113
			WO 2005-US1411	W 20050114

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 143:186790

AB A class of non-steroidal compds. are provided which are useful in treating diseases associated with modulation of the glucocorticoid receptor, AP-1, and/or NF- $\kappa$ B activity including obesity, diabetes, inflammatory and immune diseases. The compds. of the invention are fused aryl and heteroaryl bicyclo[2.2.2]octane derivs. I [R = H, OH, alkyl, etc.; Ra, Rb = H, halo, OH, alkyl, etc.; Rc, Rd = H, alkyl, alkenyl, etc.; Z = S(O)tNR1R2, CONR1R2, CH2NR1R2; t = 1,2; R1, R2 = H, alkyl, etc.; X1-X8 = CR15, NR18, etc.; R15 = H, halo, OH, etc.; R18 = H, aryl, alkyl, etc.]. Also provided are pharmaceutical compns. and methods comprising the above compds. for treating obesity, diabetes and inflammatory or immune-associated diseases. Compound preparation is included.

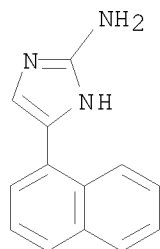
IT 76507-18-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(fused aryl and heteroaryl bicyclo[2.2.2]octane derivative modulators of glucocorticoid receptor, AP-1, and/or NF- $\kappa$ B activity, and therapeutic use)

RN 76507-18-1 CAPLUS

CN 1H-Imidazol-2-amine, 5-(1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)  
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:120898 CAPLUS

DOCUMENT NUMBER: 142:219297

TITLE: Preparation of pyrimidine analogs as 5-HT<sub>2b</sub> receptor antagonists

INVENTOR(S): Borman, Richard Anthony; Coleman, Robert Alexander; Clark, Kenneth Lyle; Oxford, Alexander William; Hynd, George; Archer, Janet Ann; Aley, Amanda; Harris, Neil Victor

PATENT ASSIGNEE(S): Pharmagene Laboratories Limited, UK

SOURCE: PCT Int. Appl., 173 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005012263	A1	20050210	WO 2004-GB3184	20040723
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2532505	A1	20050210	CA 2004-2532505	20040723
EP 1648876	A1	20060426	EP 2004-743517	20040723
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2006528617	T	20061221	JP 2006-520897	20040723
US 20090018150	A1	20090115	US 2006-564010	20060111
PRIORITY APPLN. INFO.:			GB 2003-17346	A 20030724
			US 2003-490286P	P 20030728
			WO 2004-GB3184	W 20040723

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 142:219297; MARPAT 142:219297

AB Title compds. represented by the formula I [wherein X = O or NH; R<sub>1</sub> = (un)substituted aryl; R<sub>2</sub>, R<sub>3</sub> = independently H, (un)substituted

(cyclo)alkyl, cycloalkylalkyl, phenylalkyl; R4, R5 = independently H, (un)substituted (phenyl)alkyl, sulfonylalkyl, carbonylalkyl, alkylamino or R4R5 = (un)substituted heterocyclic group; and pharmaceutically acceptable salts or solvates thereof], and 3 addnl. Markush structures, were prepared as 5-HT2b receptor agonists. For example, reaction of 2-amino-4-chloro-6-methylpyrimidine with aniline in the microwave cavity gave II. I were tested for binding activity of 5-HT2A, 5-HT2B and 5-HT2C. Thus, I and their pharmaceutical compns. are useful for the treatment of a condition alleviated by antagonism of a 5-HT2B receptor, such as digestive tract disease (no data).

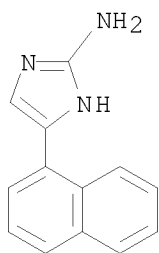
IT 76507-18-1P 650626-12-3P 842155-02-6P  
 842155-04-8P 842155-05-9P 842155-08-2P  
 842155-09-3P 842155-10-6P 842155-11-7P  
 842155-12-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidinyl, imidazolyl, oxazolyl and triazolyl amine derivs. as 5-HT2b receptor antagonists)

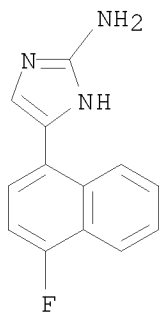
RN 76507-18-1 CAPLUS

CN 1H-Imidazol-2-amine, 5-(1-naphthalenyl)- (CA INDEX NAME)



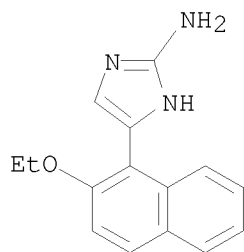
RN 650626-12-3 CAPLUS

CN 1H-Imidazol-2-amine, 5-(4-fluoro-1-naphthalenyl)- (CA INDEX NAME)

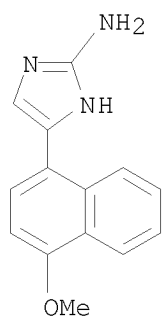


RN 842155-02-6 CAPLUS

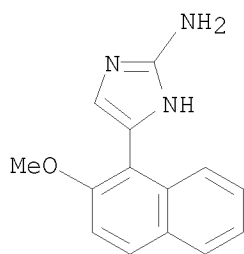
CN 1H-Imidazol-2-amine, 5-(2-ethoxy-1-naphthalenyl)- (CA INDEX NAME)



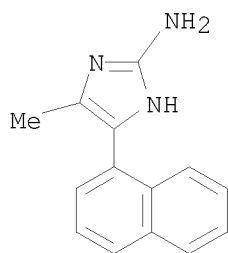
RN 842155-04-8 CAPLUS  
 CN 1H-Imidazol-2-amine, 5-(4-methoxy-1-naphthalenyl)- (CA INDEX NAME)



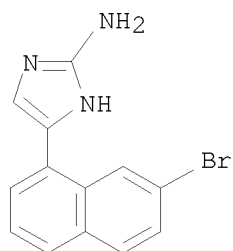
RN 842155-05-9 CAPLUS  
 CN 1H-Imidazol-2-amine, 5-(2-methoxy-1-naphthalenyl)- (CA INDEX NAME)



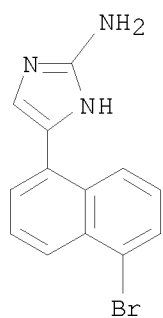
RN 842155-08-2 CAPLUS  
 CN 1H-Imidazol-2-amine, 4-methyl-5-(1-naphthalenyl)- (CA INDEX NAME)



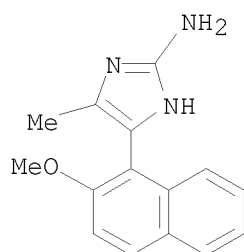
RN 842155-09-3 CAPLUS  
 CN 1H-Imidazol-2-amine, 5-(7-bromo-1-naphthalenyl)- (CA INDEX NAME)



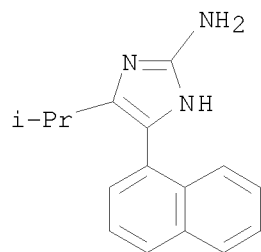
RN 842155-10-6 CAPLUS  
 CN 1H-Imidazol-2-amine, 5-(5-bromo-1-naphthalenyl)- (CA INDEX NAME)



RN 842155-11-7 CAPLUS  
 CN 1H-Imidazol-2-amine, 5-(2-methoxy-1-naphthalenyl)-4-methyl- (CA INDEX NAME)



RN 842155-12-8 CAPLUS  
 CN 1H-Imidazol-2-amine, 4-(1-methylethyl)-5-(1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)  
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:80450 CAPLUS

DOCUMENT NUMBER: 140:145835

TITLE: Preparation of dibenzofused  
bicyclo[2.2.2]octane-derived amides as modulators of  
the glucocorticoid receptor

INVENTOR(S): Vaccaro, Wayne; Yang, Bingwei Vera; Kim, Soong-hoon;  
Huynh, Tram; Tortolani, David R.; Leavitt, Kenneth J.;  
Li, Wenying; Doweiko, Arthur M.; Chen, Xiao-tao;  
Doweiko, Lidia

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; et al.

SOURCE: PCT Int. Appl., 265 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009017	A2	20040129	WO 2003-US22300	20030717
WO 2004009017	A3	20040708		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003251970	A1	20040209	AU 2003-251970	20030717
US 20040132758	A1	20040708	US 2003-621909	20030717
US 6995181	B2	20060207		
EP 1534273	A2	20050601	EP 2003-765638	20030717
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2006508042	T	20060309	JP 2004-523482	20030717
NO 2005000074	A	20050309	NO 2005-74	20050106
US 20050171136	A1	20050804	US 2005-85347	20050321
PRIORITY APPLN. INFO.:			US 2002-396877P	P 20020718
			US 2003-621909	A1 20030717
			WO 2003-US22300	W 20030717

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 140:145835

AB Title compds. I [R-R4 = H, alk(en/yn)yl, alkoxy, aryl, etc.; Z = carboxamido, alkylamino, etc.] are prepared For instance, 2-amino-4,5-dimethylthiazole is coupled to the acid derived from the cycloaddn. of methacrylic acid and anthracene (CH3CN, EDCI, Et3N, HOAt, 18 h) to give II. I are glucocorticoid receptor modulators which are useful in treating diseases requiring glucocorticoid receptor agonist or antagonist therapy such as obesity, diabetes, inflammatory and immune disorders.

IT 650626-12-3 650626-16-7

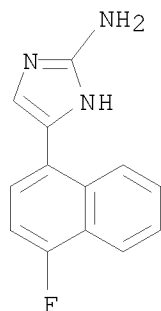
RL: RCT (Reactant); RACT (Reactant or reagent)



(preparation of dibenzofused bicyclo[2.2.2]octane-derived amides as  
modulators of glucocorticoid receptor)

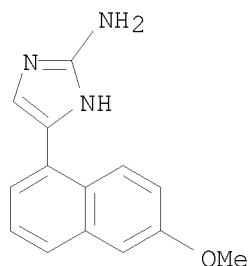
RN 650626-12-3 CAPLUS

CN 1H-Imidazol-2-amine, 5-(4-fluoro-1-naphthalenyl)- (CA INDEX NAME)



RN 650626-16-7 CAPLUS

CN 1H-Imidazol-2-amine, 5-(6-methoxy-1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS  
RECORD (22 CITINGS)  
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:80449 CAPLUS

DOCUMENT NUMBER: 140:157927

TITLE: Homology modeling of nuclear hormone receptor Site II  
and design of Site II ligands

INVENTOR(S): Doweyko, Arthur; Nadler, Steven G.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 276 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

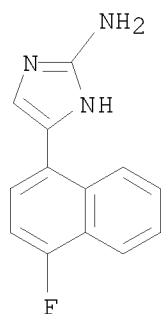
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009016	A2	20040129	WO 2003-US22299	20030717
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,			

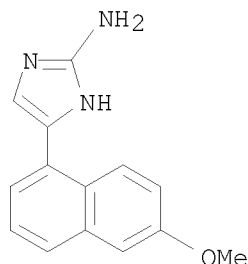
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
EP 1575502 A2 20050921 EP 2003-765637 20030717  
EP 1575502 A3 20051123  
EP 1575502 B1 20100120  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
US 20060223110 A1 20061005 US 2003-621807 20030717  
US 7442554 B2 20081028  
AT 456100 T 20100215 AT 2003-765637 20030717  
PRIORITY APPLN. INFO.: US 2002-396907P P 20020718  
WO 2003-US22299 W 20030717

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB A binding site in nuclear hormone receptors is described and its structural coordinates are provided. The invention provides machine-readable data storage media comprising structure coordinates of Site II and computer systems comprising the machine-readable data storage media. The invention provides methods used in the design and identification of ligands of Site II and of modulators of nuclear hormone receptors. The invention provides ligands of Site II, modulators of NHRs, pharmaceutical compns. comprising modulators of NHRs, methods of modulating NHRs, and methods of treating diseases by administering modulators of an NHR. Also provided are methods of designing mutants, mutant NHRs, Site II binding assays, and models of Site II.  
IT 650626-12-3P 650626-16-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(homol. modeling of nuclear hormone receptor Site II in ligand binding domain and design of Site II ligands)  
RN 650626-12-3 CAPLUS  
CN 1H-Imidazol-2-amine, 5-(4-fluoro-1-naphthalenyl)- (CA INDEX NAME)



RN 650626-16-7 CAPLUS  
CN 1H-Imidazol-2-amine, 5-(6-methoxy-1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)  
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1981:84008 CAPLUS

DOCUMENT NUMBER: 94:84008

ORIGINAL REFERENCE NO.: 94:13701a,13704a

TITLE: Synthesis and halogenation of some new  
2-amino-4-substituted imidazoles and their possible  
use as pesticides

AUTHOR(S): Nath, J. P.; Mahapatra, G. N.

CORPORATE SOURCE: Dep. Chem., Ravenshaw Coll., Cuttack, 753 003, India

SOURCE: Indian Journal of Chemistry, Section B: Organic  
Chemistry Including Medicinal Chemistry (1980),  
19B(6), 526-8

CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 94:84008

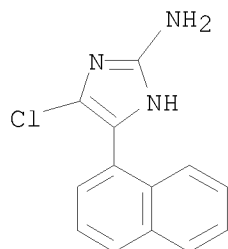
AB Eleven imidazoles I (R = Ph, substituted Ph,  $\alpha$ -,  $\beta$ -naphthyl,  
2-thienyl; R1 = H) were prepared by cyclizing RAc with guanidine using Br as  
condensing agent. Halogenating I (R1 = H) gave I (R1 = Br, Cl). Both  
halogenated and nonhalogenated imidazoles exhibit antifungal activity  
against Piricularia oryzae and antibacterial activity against the common  
pathogenic bacteria, Staphylococcus aureus and Escherichia coli.  
Structure-activity relationship was also discussed.

IT 76507-28-3P 76507-39-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and pesticidal properties of)

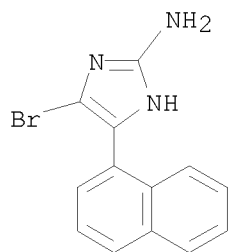
RN 76507-28-3 CAPLUS

CN 1H-Imidazol-2-amine, 5-chloro-4-(1-naphthalenyl)- (CA INDEX NAME)

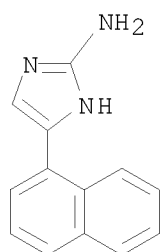


RN 76507-39-6 CAPLUS

CN 1H-Imidazol-2-amine, 5-bromo-4-(1-naphthalenyl)- (CA INDEX NAME)



IT 76507-18-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation, halogenation and pesticidal properties of)  
 RN 76507-18-1 CAPLUS  
 CN 1H-Imidazol-2-amine, 5-(1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
 (1 CITINGS)

=> FIL STNGUIDE  
 COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
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FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
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FILE CONTAINS CURRENT INFORMATION.  
 LAST RELOADED: Mar 5, 2010 (20100305/UP).